

10534 291

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NEWS 7 DEC 21 IPC search and display fields enhanced in CA/CAplus with the
IPC reform
NEWS 8 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
USPAT2
NEWS 9 JAN 13 IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10 JAN 13 New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to
INPADOC
NEWS 11 JAN 17 Pre-1988 INPI data added to MARPAT
NEWS 12 JAN 17 IPC 8 in the WPI family of databases including WPIFV

NEWS EXPRESS JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
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=> file registry
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
0.21	0.21

FILE 'REGISTRY' ENTERED AT 07:01:23 ON 23 JAN 2006
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STRUCTURE FILE UPDATES: 20 JAN 2006 HIGHEST RN 872400-03-8

DICTIONARY FILE UPDATES: 20 JAN 2006 HIGHEST RN 872400-03-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

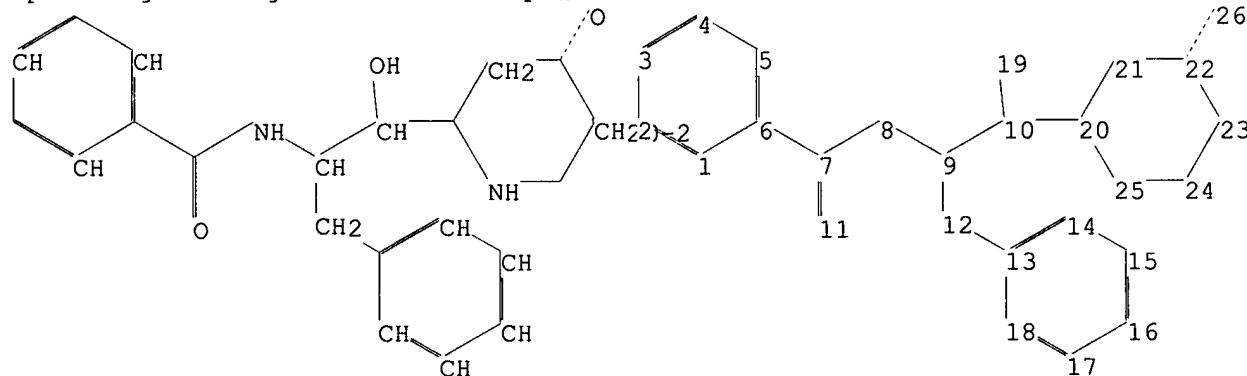
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10534291\10534291G3.str



chain nodes :

7 8 9 10 11 12 19 26

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18 20 21 22 23 24 25

chain bonds :

6-7 7-8 7-11 8-9 9-10 9-12 10-19 10-20 12-13 22-26

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 20-21

20-25 21-22 22-23 23-24 24-25

exact/norm bonds :

7-8 7-11 8-9 10-19 20-21 20-25 21-22 22-23 22-26 23-24 24-25

L3 15 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
166.94 167.15

FILE 'CAPLUS' ENTERED AT 07:02:10 ON 23 JAN 2006
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FILE COVERS 1907 - 23 Jan 2006 VOL 144 ISS 5
FILE LAST UPDATED: 22 Jan 2006 (20060122/ED)

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=> s 13
L4 1 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:428903 CAPLUS
 DOCUMENT NUMBER: 141:6920
 TITLE: Preparation of phenylcarboxamide derivatives as
 β -secretase inhibitors for the treatment of
 Alzheimer's disease
 INVENTOR(S): Coburn, Craig A.; Stachel, Shawn J.; Vacca, Joseph P.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 65 pp.
 CODEN: PIIXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2004043916	A1	20040527	WO 2003-US35316	20031106	
W: AE, AG, AL, AM, AT, AU, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NJ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HV, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,				
TG	CA 2505098 EP 1562897	AA A1	20040527 20050817	CA 2003-2505098 EP 2003-768700	20031106 20031106
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HV, SK			US 2002-425555P	P 20021112
PRIORITY APPLN. INFO.:				US 2002-425560P	P 20021112
				WO 2003-US35316	W 20031106

OTHER SOURCE(S): MARPAT 141:6920
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
 ---Logging off of STN---

END

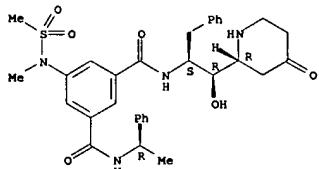
AB The title compds. I [R2 = R4-S(O)m-NR5-, R4-S(O)m-, R4NHCO-, R4CONH-, R4RSN-, CN, halo, etc.; R4, R5 = H, Cl-C6alkyl, Ph or benzyl; R6a, R6b, R6c = H, halo, -OR5, -SR5 or C1-C6alkyl; X1 = H; X2 = OH, or X1, X2 = oxo;
 Z = CO, CH-OH, CH-F, or ethylene ketal; n = 1-4; m = 0-2] were prepared
 as
 β -secretase inhibitors for the treatment or prevention of diseases,
 such as Alzheimer's disease. For example, compound II was prepared from
 di-Me

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (Uses)
 (prepn. of phenylcarboxamide derivs. as β -secretase inhibitors for
 the treatment of Alzheimer's disease)
 RN 695215-61-3 CAPLUS
 CN 1,3-Benzenedicarboxamide, N-[(1S,2R)-2-hydroxy-2-((2R)-4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 695215-60-2
 CMF C32 H38 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 695215-63-5 CAPLUS
 CN Benzamide, N-[(1S,2R)-2-hydroxy-2-((2R)-4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-3-[(2-methylcyclopropyl)methoxy]-5-[methyl(methylsulfonyl)amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 695215-62-4
 CMF C28 H37 N3 O6 S

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 5-aminoisophthalate in a multi-step synthesis. The compds. of the
 invention exhibited inhibiting activity against β -secretase with an
 IC50 from about 1 μ M to 1 μ M

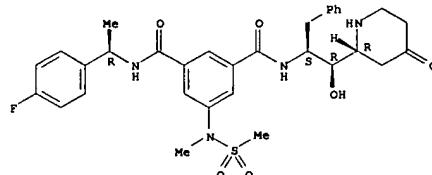
IT 695215-64-6P 695215-65-7P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of phenylcarboxamide derivs. as β -secretase inhibitors

for
 the treatment of Alzheimer's disease)

RN 695215-64-6 CAPLUS

CN 1,3-Benzenedicarboxamide, N-[(1R)-1-(4-fluorophenyl)ethyl]-N'-(1S,2R)-2-hydroxy-2-((2R)-4-hydroxy-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

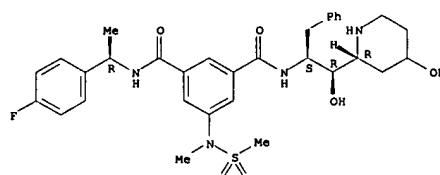
Absolute stereochemistry.



RN 695215-65-7 CAPLUS

CN 1,3-Benzenedicarboxamide, N-[(1R)-1-(4-fluorophenyl)ethyl]-N'-(1S,2R)-2-hydroxy-2-((2R)-4-hydroxy-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



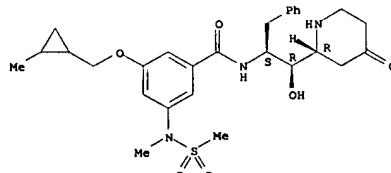
IT 695215-61-3P 695215-63-5P 695215-70-4P

695215-71-5P 695215-80-6P 695215-81-7P
 695215-83-9P 695215-88-4P 695215-90-8P
 695215-91-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Treatment)

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



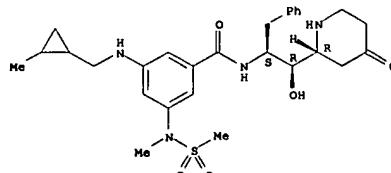
RN 695215-70-4 CAPLUS

CN Benzamide, N-[(1S,2R)-2-hydroxy-2-((2R)-4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-3-[(2-methylcyclopropyl)methyl]amino]-5-[methyl(methylsulfonyl)amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 695215-69-1
 CMF C28 H38 N4 O5 S

Absolute stereochemistry.

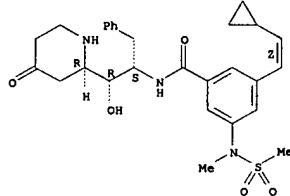


CM 2

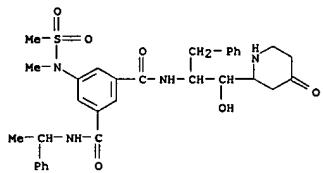
CRN 76-05-1
CINF C2 H F3 O2

RN 695215-71-5 CAPLUS
CN Benzamide, 3-[{(1Z)-2-cyclopropylethyl}-N-(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-
(9CI) (CA INDEX NAME)

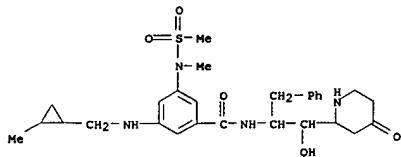
Absolute stereochemistry.
Double bond geometry as shown.



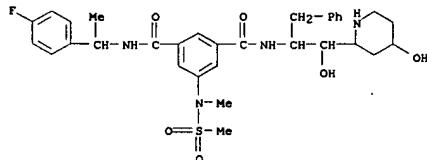
RN 695215-80-6 CAPLUS
CN 1,3-Benzenedicarboxamide, N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-N'-(1-phenylethyl)-
(9CI) (CA INDEX NAME)



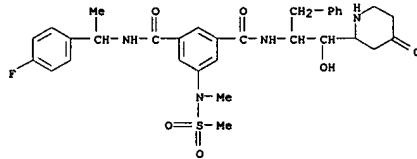
RN 695215-81-7 CAPLUS
CN Benzamide, N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-3-[(2-methylcyclopropyl)methyl]amino]-5-[methyl(methylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



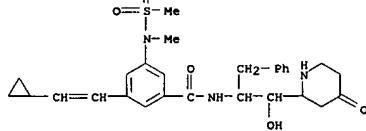
RN 695215-83-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N-[1-(4-fluorophenyl)ethyl]-N'-(2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



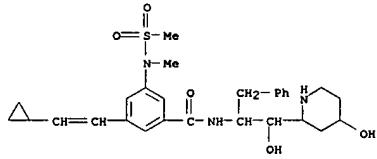
RN 695215-88-4 CAPLUS
CN 1,3-Benzenedicarboxamide, N-[1-(4-fluorophenyl)ethyl]-N'-(2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



RN 695215-90-8 CAPLUS
CN Benzamide,
3-(2-cyclopropylethyl)-N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 695215-91-9 CAPLUS
CN Benzamide, 3-[{(1Z)-2-cyclopropylethyl}-N-[2-hydroxy-2-(4-hydroxy-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
FORMAT

10/534,291 EAST search Class 546/242; 514/335

US 5506243 A	USPAT 19960409	5	Sulfonamide derivatives	514/345514/231.5; 514/238.2; 514/245; 514/247;
514/249;				
514/252.1; 514/266.3; 514/269; 514/299; 514/308; 514/312; 514/335; 514/366; 514/372; 514/376; 514/380; 514/384; 514/398;				
514/407; 514/424; 514/443; 514/445; 514/452; 514/456; 514/463; 514/470; 514/473; 514/604; 544/106; 544/228; 544/239; 544/253;				
544/257; 544/265; 544/298; 544/315; 544/316; 544/319; 544/384; 544/408; 544/59; 546/138; 546/153; 546/242; 546/243; 546/293; 548/183;				
548/213; 548/228; 548/251; 548/263.2; 548/307.1; 548/361.5; 548/482; 548/484; 548/486; 549/14; 549/399; 549/416; 549/430;				
549/466; 549/474				